Andrew Freistein 10/716,430

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS	4	OCT 03	MATHDI removed from STN
NEWS	5	OCT 04	CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS	6	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS	7	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools
NEWS	8	OCT 27	Free KWIC format extended in full-text databases
NEWS	9	OCT 27	DIOGENES content streamlined
NEWS	10	OCT 27	EPFULL enhanced with additional content
NEWS	11	NOV 14	CA/CAplus - Expanded coverage of German academic research
NEWS	12	NOV 30	REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data
NEWS	13	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS	14	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS	15	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS	16	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS	17	DEC 16	MARPATprev will be removed from STN on December 31, 2005
NEWS	18	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS	19	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2

NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:28:38 ON 27 DEC 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:28:44 ON 27 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6
DICTIONARY FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

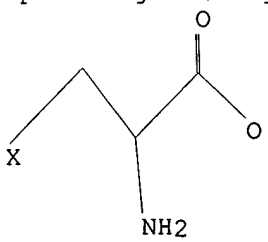
```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

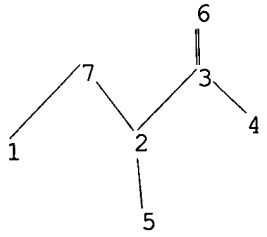
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10716430\form 1 B.str





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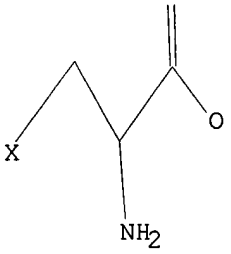
1 2 3 4 5 6 7
chain bonds :
1-7 2-5 2-3 2-7 3-4 3-6
exact/norm bonds :
2-5 3-4 3-6
exact bonds :
1-7 2-3 2-7

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:29:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4604 TO ITERATE

43.4% PROCESSED 2000 ITERATIONS 23 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 88012 TO 96148
PROJECTED ANSWERS: 622 TO 1494

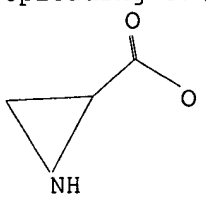
L2 23 SEA SSS SAM L1

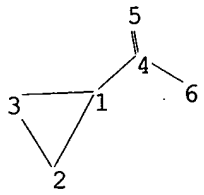
=> s l1 full
FULL SEARCH INITIATED 12:29:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 91215 TO ITERATE

100.0% PROCESSED 91215 ITERATIONS 1245 ANSWERS
SEARCH TIME: 00.00.01

L3 1245 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10716430\form 2 B.str



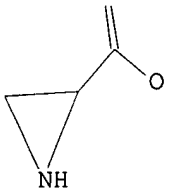


chain nodes :
4 5 6
ring nodes :
1 2 3
chain bonds :
1-4 4-5 4-6
ring bonds :
1-2 1-3 2-3
exact/norm bonds :
1-2 1-3 2-3 4-5 4-6
exact bonds :
1-4

Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:CLASS

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 12:29:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 11259 TO ITERATE

17.8% PROCESSED 2000 ITERATIONS 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 218822 TO 231538
PROJECTED ANSWERS: 166 TO 734

```
L5            4 SEA SSS SAM L4

=> s l4 full
FULL SEARCH INITIATED 12:29:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   222523 TO ITERATE

100.0% PROCESSED   222523 ITERATIONS                          658 ANSWERS
SEARCH TIME: 00.00.01

L6          658 SEA SSS FUL L4

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               322.66     322.87

FILE 'HCAPLUS' ENTERED AT 12:29:55 ON 27 DEC 2005
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FILE LAST UPDATED: 26 Dec 2005  (20051226/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L7          2444 L3

=> s l6
L8           364 L6

=> s l3 and l6
            2444 L3
             364 L6
L9            24 L3 AND L6

=> s base
          650906 BASE
          148857 BASES
L10       741738 BASE
                 (BASE OR BASES)

=> s l9 and l10
L11            2 L9 AND L10
```
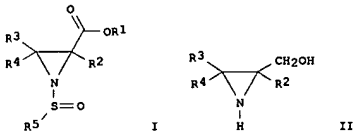

=> d ibib abs 1-2

L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:167574 HCAPLUS
DOCUMENT NUMBER: 124:232231
TITLE: Aziridine compounds, methods of preparation, and reactions thereof, as intermediates for thiamphenicol and analogs
INVENTOR(S): Davis, Franklin A.; Zhou, Ping; Reddy, Gaddampally Venkat
PATENT ASSIGNEE(S): Drexel University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

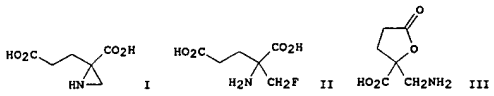
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530672	A1	19951116	WO 1995-US4911	19950501
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5789599	A	19980804	US 1994-239097	19940506
AU 9524260	A1	19951129	AU 1995-24260	19950501
PRIORITY APPLN. INFO.:			US 1994-239097 A	19940506
			WO 1995-US4911 W	19950501

OTHER SOURCE(S): CASREACT 124:232231; MARPAT 124:232231
GI



AB Novel N-sulfinyl-2-carboxy- and N-hydrogen-2-(hydroxymethyl)aziridine compds. I and II and their stereoisomers are provided [wherein R1-R5 = H, hydrocarbyl radicals containing 1-40 C atoms, 0-40 halo atoms, and 0-10 heteroatoms (B, N, O, S, P, Si, Se); both R3 and R4 ≠ H; sulfinyl moiety may be racemic or optically enriched]. The asym. synthesis of N-sulfinylaziridines is readily accomplished in high diastereomeric purity

L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:580151 HCAPLUS
DOCUMENT NUMBER: 121:180151
TITLE: The synthesis and stability of aziridino-glutamate, an irreversible inhibitor of glutamate racemase
AUTHOR(S): Tanner, Martin E.; Miao, Shichang
CORPORATE SOURCE: Dep. Chem., Univ. British Columbia, Vancouver, BC, V6T 1Z1, Can.
SOURCE: Tetrahedron Letters (1994), 35(24), 4073-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:180151
GI



AB Aziridino-glutamate (±)-I was synthesized by heating α-fluoromethylglutamate II in base. In neutral solution, (±)-I was shown to cyclize to the γ-lactone III with a half life of 4 min. Aziridino-glutamate was shown to irreversibly inactive glutamate racemase by alkylating an active site cysteine residue. Electrospray mass spectrometry was used to establish that a covalent bond had formed and that this bond protects one of the enzyme's two cysteine residues from reacting with iodoacetate under denaturing conditions.

L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and good yield by a Darzens-type reaction of a metal enolate of an α-halo ester with an enantiopure sulfinimine. Ring-opening of the aziridines affords α-amino acids and otherwise difficult to prep. syn-β-hydroxy-α-amino acids, both key structural units found in many bioactive materials. The N-sulfinyl radical may be selectively removed from the novel aziridine compds. by treatment with acid or base. Alternatively, the N-sulfinyl radical may be oxidized to provide the corresponding N-sulfonyl-aziridine, or reduced to form the corresponding 1H-2-(hydroxymethyl)aziridine, either of which may subsequently be ring-opened to provide precursors to bioactive compds. For example, BrCH2CO2Me was lithiated with (Me3Si)2NLi in THF, and reacted with (S)-(+)-N-benzylidene-p-toluenesulfonimine to give 65% (2S,3S)-I [R1 = Me, R2 = R4 = H, R3 = Ph, R5 = p-MeC6H4] (III), plus 6% (2S,3R)-isomer byproduct. The analog of III with R3 = p-(MeS)C6H4 was similarly prepd., then reduced to the corresponding hydroxymethyl compd. II, hydrolyzed to an aminopropanediol, N-dichloroacetylated, and oxidized with m-ClC6H4C(O)OOH, to give the antibiotic thiamphenicol.

Andrew Freistein 10/716,430

```
=> s amine
        261513 AMINE
        245844 AMINES
L12     399888 AMINE
                (AMINE OR AMINES)

=> s l9 and l12
L13           3 L9 AND L12

=> d ibib abs 1-3
```

L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:617977 HCAPLUS
DOCUMENT NUMBER: 135:195786
TITLE: Processes for preparing optically active amino acid derivatives
INVENTOR(S): Sugawara, Masanobu; Fujii, Akio; Okuro, Kazumi; Saka, Yasuhiro; Nagashima, Nobuo; Inoue, Kenji; Takeda, Toshihiro; Kinoshita, Koichi; Moroshima, Tadashi; Fuse, Yoshihide; Ueda, Yasuyoshi
PATENT ASSIGNEE(S): Kaneka Corporation, Japan; et al.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060795	A1	20010823	WO 2001-JP1132	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2369678	AA	20010823	CA 2001-2369678	20010216
AU 2001032327	A5	20010827	AU 2001-32327	20010216
EP 1179530	A1	20020213	EP 2001-904517	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001005042	A	20011214	NO 2001-5042	20011016
US 2003032814	A1	20030213	US 2002-926346	20020205
US 6720449	B2	20040413		
US 2005143586	A1	20050630	US 2003-716430	20031120

PRIORITY APPLN. INFO.:
JP 2000-39415 A 20000217
JP 2000-334391 A 20001101
WO 2001-JP1132 W 20010216
US 2002-926346 A3 20020205

OTHER SOURCE(S): CASREACT 135:195786; MARPAT 135:195786
AB An optically active amino acid derivative is prepared either by subjecting an optically active 3-haloalanine derivative XCH2C*H(NH2)CO2R1 [X is halogen; R1 is hydrogen or the like; the asterisk represents an asym. carbon atom] to N-protection followed by cyclization or cyclization followed by N-protection to prepare an optically active aziridinecarboxylic acid derivative whose imino group is protected with 2-nitrobenzenesulfonyl or 4-nitrobenzenesulfonyl and treating this deriv. with an organometallic reagent or by subjecting an optically active 3-haloalanine deriv. to N-protection to obtain an optically active 3-haloalanine deriv. XCH2C*H(NHP1)CO2R2 [X, asterisk = as given above; R2 is hydrogen or the like; P1 is 2-nitrobenzenesulfonyl or 4-nitrobenzenesulfonyl] whose amino group is protected with 2-nitrobenzenesulfonyl or 4-nitrobenzenesulfonyl and treating this deriv. with an organometallic reagent. According to such processes, natural and nonnatural optically active amino acids can be prepd. from inexpensive raw materials through simple and easy operation.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:639100 HCAPLUS
DOCUMENT NUMBER: 93:239100
TITLE: Preparation of fluoro **amines** by the reaction of aziridines with hydrogen fluoride in pyridine solution
AUTHOR(S): Wade, Tamsir N.
CORPORATE SOURCE: Lab. Chim. Struct. Org., Univ. Nice, Nice, 06034, Fr.
SOURCE: Journal of Organic Chemistry (1980), 45(26), 5328-33
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:239100
AB HF combines regiospecifically with aziridines to give 2-fluoro **amines** in good yields. F attack is in all cases completely directed to the most substituted ring carbon or to the benzylic carbon. The results are consistent with an SN1-type mechanism which involves isomerization of the pos. charged intermediate.

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1960:118138 HCAPLUS
DOCUMENT NUMBER: 54:118138
ORIGINAL REFERENCE NO.: 54:22552d-i,22553a-i,22554a
TITLE: Formation, ring cleavage, and isomerization of ethylenimine-2-carboxylic acid derivatives
AUTHOR(S): Gunderman, Karl Dietrich; Holtzmann, Gerhard; Rose, Hans Joachim; Schulze, Helmut
CORPORATE SOURCE: Univ. Munster, Germany
SOURCE: Chemische Berichte (1960), 93, 1632-43
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 54:118138
AB The kinetic investigation of HCl elimination from H2NCH2CHClCO2H (I) and ClCH2CH(NH2)CO2H (II) in the presence of NaOH showed that 2-carboxyethylenimide (III) was formed in both cases. The hydrolysis of I and II at pH 6 yielded in both cases mixts. of DL-serine (IV) and isoserine (V) of identical composition The tendency for the formation of β-substituted-α-amino acids from III increased with increasing nucleophilicity of the cleaving reagent. 1-Benzyl-2-cyanoethylenimine Va rearranged thermally to α-(N-benzylimino)propionitrile (VI) and PhCH:NCH(CN)Me (VII). CH2:CClCO2Me (76 g.), 88 g. phthalimide, and 200 cc. C6H6 treated with 2.4 g. Na in 176 cc. absolute MeOH, the mixture heated 0.5 h., cooled, filtered after a few hrs., the residue washed with a little MeOH, the combined filtrates evaporated in vacuo, the residue dissolved in CHCl3, washed with 0.2N NaOH and H2O, evaporated, and the combined residues recrystd. from MeOH yielded 120-3 g. Me -chloro-β-phthalimidopropionate (VIII), m. 125°. VIII and the 10-fold amount of 20% HCl refluxed 5 h., cooled, filtered, evaporated in vacuo, the residue dissolved in H2O, treated with C, evaporated, dissolved in iso-PrOH, the solution concentrated to beginning crystallization, and diluted with dry Et2O gave 80% I.HCl. Et ester (5 g.) of I.HCl, 10 g. N(CH2CH2OH)3, and 50 cc. absolute EtOH heated 5 h. at 60-70° with stirring, filtered, and distilled in vacuo into a cooled (-80°) receiver gave about 50% Et ester (IX) of III as an alc. solution; the solution treated with 100 cc. MeOH (saturated at 0° with NH3), kept overnight, and evaporated yielded 44-8% amide of III, m. 124° (EtOAc-petr. ether). Alc. IX treated with 1 mol equivalent N LiOH, refrigerated 24 h., evaporated in vacuo, the residue evaporated with dry C6H6, dissolved in 50 cc. warm absolute EtOH, the solution cooled, and diluted with 2 vols. dry Et2O gave 0.8-1.0 g. powdery Li salt of III, m. 260-70° (decomposition), which refluxed in EtOH yielded partially polymeric materials, Rf 0.73 (65:35 C6H5N-H2O). Li salt of III in the min. amount of H2O treated with the calculated amount of aqueous AgNO3 and diluted with EtOH gave the Ag salt of III, pale yellow, which turned gradually brown, even in the dark. Li salt

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(0.9 g.) of III in 20 cc. H2O treated dropwise at room temp. with stirring
with 50 cc. 20% H2SO4, the mixt. kept overnight, refluxed 1 h., treated with BaCl2, filtered, and purified with Lewatit S-100 gave 0.8 g. crude IV
contg. less than 8% V. A series of similar hydrolyzes was performed with I (pH, temp., % yield of IV + V, % content of IV in product given): 6, 100°, about 80, 83; 6, 60°, about 80, 86-8; 5, 100°, about 80, 80; 2, 100, about 80°, 53. II gave similarly at pH 6 and 100° 75% mixt. of IV and V contg. 83% IV. Li salt (1.1 g.) of III in 20 cc. H2O added dropwise with stirring and cooling to 4 g. AcSH in 40 cc. H2O, the mixt. refluxed 0.5 h., treated with excess 20% HCl, refluxed 8 h., evapd. in vacuo, and the residue treated in liq. NH3 with Na and PhCH2Cl gave 0.75 g. S-benzyl-DL-isocysteine (X), m. 190-5°. Alc. IX (from 5 g. I.HCl) treated with 2 g. AcSH in 30 cc. abs. EtOH gave X. A
portion of the crude residue (after evapn. of the HCl) from a similar run treated with Raney Ni gave β-alanine (XI) contg. very little α-alanine; another portion (0.63 g.) of the residue treated with Na and PhCH2Cl in liq. NH3 gave about 0.20 g. X contg. traces of S-benzyl-DL-cysteine. I.HCl (1.6 g.) in 200 cc. H2O neutralized with N NaOH, heated to reflux, adjusted with N NaOH to pH 7-7.5, concd. to 50 cc., added dropwise with stirring at 20° to 150 cc. N HCl, kept 15 h. at room temp., evapd. in vacuo, the residue extd. with abs. EtOH, and the ext. evapd. gave 83% mixt. of I and II contg. 31% I; a similar run at -4° yielded 80% mixt. contg. 38% I. A run with 90 mol equivs. 6N HCl at 20° gave 78% mixt. contg. 31% I. I.HCl converted similarly to III and then cleaved at 20° with N HBr yielded 70% mixt. of H2NCH2CHBrCO2H and BrCH2CH(NH2)CO2H (XII) contg. 51-4% XII. A run with N HCl at -4° yielded 90% mixt. of I and II contg. 39 II. IX treated at -4° with HCl in Me2CO-Et2O gave 75% mixt. of I and II, contg. 58% I. Na salt of III treated with N HCl, the mixt. neutralized with NH4OH, and the product fractionally crystd. from aq. EtOH gave 10% II, decompd. at 142°. Et ester of I.HCl (5 g.) in 50 cc. Et2O treated with cooling with 1.1 g. NaOH in 5 cc. H2O, the aq. phase treated with solid K2CO3 to a crystal slush, the aq. phase extd. with Et2O, the combined Et2O solns. dried several hrs. at -4° and then evapd., the crude residual Et ester of I (2.8-3.0 g.) dissolved immediately in abs. EtOH, dild. to 100 cc., and aliquots titrated for chloride ion gave the rate data which was presented graphically. The rates of the HCl elimination from I and II were detd. similarly and found to be 7.75 + 103 min.-1 and 2.57 + 103 min.-1 at 35.50°, resp. BrCH2CHBrCN (XIII) and the appropriate amine (equimolar amts.) in C6H6 refluxed 3 h. with 2 mol equivs. Et3N gave a mixt. of products. XIII (50 g.), 25 g. PhCH2NH2, 47 g. Et3N, and 400 cc. C6H6 gave thus 24-9 g. mixt., b0.7 117-20°, n20D 1.5415, of VII, Va, and PhCH2N:C(CN)Me (XIIIa), which turned slowly brownish on standing. A mixt. (9.6 g.) of Va, VII, and XIIIa shaken with 610 cc. N HCl at room temp., kept overnight, washed with Et2O, concd. in vacuo to about 50 cc., dild. with an equal vol. of concd. HCl, refluxed 5 h., evapd. in vacuo, the residue evapd. with H2O, and then treated with 200 cc. H2O yielded 2.8 g. N-PhCH2 deriv. of IV.HCl, m. 152° (decompn.); the filtrate passed through Lewatit S-100 and eluted with N NH4OH, the eluate evapd., and the residue extd. with about 100 cc. boiling abs. EtOH in several portions left 1.2 g.

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
XI (N-phthalyl deriv. m. 160°); the alc. ext. concd. gave a small amt. of XI; the mother liquors evapd. and the residue dissolved in EtOH and dild. with dry Et2O yielded about 10 g. N-PhCH2 deriv. of IV. A mixt.
(5 g.) of Va, VII, and XIIIa heated to 100° and then hydrolyzed with 320 cc. N HCl yielded 2.0 g. BzH (semicarbazone m. 220°) and 1.42 g. XI. Va-VII-XIIIa mixt. (9.4 g.) in 110 cc. 20% HCl kept several hrs. at room temp., washed with Et2O, evapd., and the residue treated with
H2O left 2-3 g. PhCH2NH2.HCl, m. 255° (3,5-dinitrobenzoate m. 210°); the filtrate furnished up to 28% XI. Va-VII-XIIIa mixt. (2.0 g.) in 10 cc. dry Me2CO treated with cooling with 16 cc. about 2N HCl-Et2O, the mixt. refrigerated overnight, dild. with 100 cc. dry Et2O, and filtered after several hrs. gave 2.5-2.7 g. ClCH2CH(CN)NHCH2Ph.HCl (or
its position isomer), m. 140° (decompn.) (abs. EtOH-Et2O), which heated with KI and alc. HCl in HCONMe2 liberated iodine. Va-VII-XIIIa mixt. (5.6 g.) and 3.0 g. KOH in 30 cc. EtOH heated 2 h. at 50-60°, the mixt. concd. in vacuo to about 15 cc., dild. with 30 cc. H2O, the aq. phase extd. with Et2O, and the combined org. layer and extd. worked up gave 3.55 g. N-benzylethylenimide-2-carboxamide, m. 112° (EtOHEt2O). XIII (50 g.), 32 g. p-MeOC6H4NH2, 47 g. Et3N, and 400 cc. C6H6 yielded in the usual manner 15.2 g. N-(p-methoxyphenyl)-2-cyanoethylenimine (XIV), b0.05 126-7°, n20D 1.5556. XIV (5.4 g.) hydrolyzed with 290 cc. N HCl yielded 1.3 g. XI and 2.1 g. p-MeOC6H4CHO, which gave 2.5 g. semicarbazone, m. 209-11°. Similarly were prepd. the N-Bu analog (XV) of XIV, 77%, b12 85-7°, n20D 1.4430, N-neopentyl analog of XIV, 67%, b14 83-4°, n20D 1.4428, N-(p-ClC6H4CH2) analog of XIV, leaflets, 66%, m. 69° (ligroine). XV (3.75 g.) treated in the usual manner with 2 g. KOH in 30 cc. EtOH gave
3.0 g. N-butylethylenimine-2-carboxamide, m. 61° (C6H6-petr. ether). MeCHBrCHBrCN treated in the usual manner with PhCH2NH2 yielded 35-6% product, C11H12N2, b0.2 112-15°. The IV-V mixts. were analyzed spectrophotometrically with the absorption max. of the Cu complex
salts at 620 and 710 mμ.

Andrew Freistein 10/716,430

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=> s metal
       1616377 METAL
        818332 METALS
L14    1961287 METAL
                  (METAL OR METALS)

=> s l9 and l14
L15           4 L9 AND L14

=> d ibib abs 1-4
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L15 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:167574 HCAPLUS
DOCUMENT NUMBER: 124:232231
TITLE: Aziridine compounds, methods of preparation, and reactions thereof, as intermediates for thiamphenicol and analogs
INVENTOR(S): Davis, Franklin A.; Zhou, Ping; Reddy, Gaddampally Venkat
PATENT ASSIGNEE(S): Drexel University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530672	A1	19951116	WO 1995-US4911	19950501
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5789599	A	19980804	US 1994-239097	19940506
AU 9524260	A1	19951129	AU 1995-24260	19950501
PRIORITY APPLN. INFO.:			US 1994-239097 A	19940506
			WO 1995-US4911 W	19950501

OTHER SOURCE(S): CASREACT 124:232231; MARPAT 124:232231
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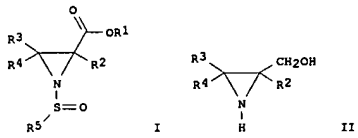

I II

AB Novel N-sulfinyl-2-carboxy- and N-hydrogen-2-(hydroxymethyl)aziridine compds. I and II and their stereoisomers are provided [wherein R1-R5 = H, hydrocarbyl radicals containing 1-40 C atoms, 0-40 halo atoms, and 0-10 heteroatoms (B, N, O, S, P, Si, Se); both R3 and R4 ≠ H; sulfinyl moiety may be racemic or optically enriched]. The asym. synthesis of N-sulfinylaziridines is readily accomplished in high diastereomeric purity and good yield by a Darzens-type reaction of a **metal** enolate of

L15 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
an α-halo ester with an enantiopure sulfinimine. Ring-opening of the aziridines affords α-amino acids and otherwise difficult to prep. syn-β-hydroxy-α-amino acids, both key structural units found in many bioactive materials. The N-sulfinyl radical may be selectively removed from the novel aziridine compds. by treatment with acid or base. Alternatively, the N-sulfinyl radical may be oxidized to provide the corresponding N-sulfonyl-aziridine, or reduced to form the corresponding 1H-2-(hydroxymethyl)aziridine, either of which may subsequently be ring-opened to provide precursors to bioactive compds. For example, BrCH2CO2Me was lithiated with (Me3Si)2NLi in THF, and reacted with (S)-(+)-N-benzylidene-p-toluenesulfonimine to give 65% (2S,3S)-I [R1 = Me, R2 = R4 = H, R3 = Ph, R5 = p-MeC6H4] (III), plus 6% (2S,3R)-isomer byproduct. The analog of III with R3 = p-(MeS)C6H4 was similarly prepd., then reduced to the corresponding hydroxymethyl compd. II, hydrolyzed to an aminopropanediol, N-dichloroacetylated, and oxidized with m-ClC6H4C(O)OOH, to give the antibiotic thiamphenicol.

L15 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:626320 HCAPLUS
DOCUMENT NUMBER: 105:226320
TITLE: Aziridine-2-carboxylic acid salts
INVENTOR(S): Sadao, Kitagawa; Takashi, Yokoi; Mitsumasa, Kaitoh
PATENT ASSIGNEE(S): Research Assoc. for Utilization of Light Oil, Japan
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 191462	A1	19860820	EP 1986-101728	19860212
R: DE, FR, GB, IT				
JP 61186361	A2	19860820	JP 1985-25775	19850213
JP 62019567	A2	19870128	JP 1985-159498	19850719
US 4935527	A	19900619	US 1988-289440	19881223
PRIORITY APPLN. INFO.:			JP 1985-25775 A	19850213
			JP 1985-159498 A	19850719
			US 1986-828549 B1	19860212

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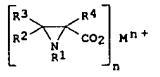


I

AB The title compds. I (R1-R4 = H, C1-10 hydrocarbyl, M = NH4, **metal** ion; n = valence of M), useful as neoplasm inhibitors, are prepared by the reaction of a 2,3-dihalopropionic acid or an α-haloacrylic acid derivative with aqueous NH3 in presence of an alkaline earth **metal** hydroxide. ClCH2CHClCO2Me, aqueous NH3, and Ca(OH)2 were charged into an autoclave at 90° for 5 h to give I (R1-R4 = H; M = Ca; n = 2) (95.3% yield).

L15 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:573039 HCAPLUS
DOCUMENT NUMBER: 105:173039
TITLE: β-Chloroalanine
INVENTOR(S): Kitagawa, Sadao; Yokoi, Takashi; Minafuji, Mitsumasa
PATENT ASSIGNEE(S): Keishitsu Ruibun Shinyoto Kaihatsu Gijutsu Kenkyu Kumiai, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60252453	A2	19851213	JP 1984-108195	19840528
PRIORITY APPLN. INFO.:			JP 1984-108195	19840528

OTHER SOURCE(S): CASREACT 105:173039
GI

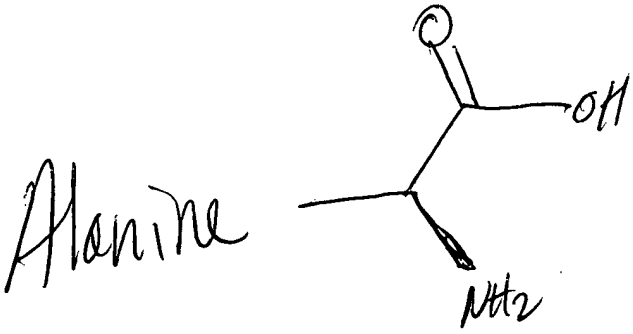
II

AB The title compound (I), useful as an intermediate cysteine, was prepared by heating an aziridine derivative I (R = CO2R1, cyano, CONH2; R1 = H, C1-5 alkyl, alkali or alkaline earth **metal**, NH4) with a Cl-containing inorg. salt in an aqueous solvent at pH 0.01-6.0. Thus, heating II (R = CO2Na) in water in the presence of p-MeC6H4SO3H and NaCl at 100° for 3 h gave 89.5% I in 100% conversion.

L15 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:125854 HCAPLUS
DOCUMENT NUMBER: 98:125854
TITLE: Aziridine-2-carboxylic acid salts
PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57146751	A2	19820910	JP 1981-32501	19810309
JP 60039357	B4	19850905		
PRIORITY APPLN. INFO.:			JP 1981-32501	19810309

AB Aziridine-2-carboxylic acid (I) salts were prepared by treating β-haloalanines, their esters, or mineral acid salts with alkali (or alkaline earth) metal hydroxides or aqueous NH3 in aqueous media. Thus, 20 g NaOH in H2O was added to 24 g β-chloroalanine-HCl in H2O at room temperature to give, after 24 h, 92.6% I Na salt. Similarly prepared were I K salt and I Ca salt.



Andrew Freistein 10/716,430

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=> s l3/rct
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L17        397 L3/RCT
                 (L3 (L) RCT/RL)

=> s l16 and l17
L18          6 L16 AND L17

=> d ibib abs 1-6
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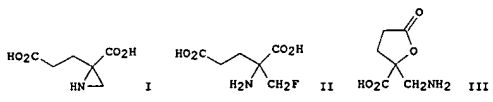
L18 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:617977 HCAPLUS
DOCUMENT NUMBER: 135:195786
TITLE: Processes for preparing optically active amino acid derivatives
INVENTOR(S): Sugawara, Masanobu; Fujii, Akio; Okuro, Kazumi; Saka, Yasuhiro; Nagashima, Nobuo; Inoue, Kenji; Takeda, Toshihiro; Kinoshita, Koichi; Moroshima, Tadashi; Fuse, Yoshihide; Ueda, Yasuyoshi
PATENT ASSIGNEE(S): Kaneka Corporation, Japan; et al.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060795	A1	20010823	WO 2001-JP1132	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2369678	AA	20010823	CA 2001-2369678	20010216
AU 2001032327	A5	20010827	AU 2001-32327	20010216
EP 1179530	A1	20020213	EP 2001-904517	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001005042	A	20011214	NO 2001-5042	20011016
US 2003032814	A1	20030213	US 2002-926346	20020205
US 6720449	B2	20040413		
US 2005143586	A1	20050630	US 2003-716430	20031120
PRIORITY APPLN. INFO.:			JP 2000-39415 A	20000217
			JP 2000-334391 A	20001101
			WO 2001-JP1132 W	20010216
			US 2002-926346 A3	20020205

OTHER SOURCE(S): CASREACT 135:195786; MARPAT 135:195786
AB An optically active amino acid derivative is prepared either by subjecting an optically active 3-haloalanine derivative XCH2C*H(NH2)CO2R1 [X is halogen; R1 is hydrogen or the like; the asterisk represents an asym. carbon atom] to N-protection followed by cyclization or cyclization followed by N-protection to prepare an optically active aziridinecarboxylic acid derivative whose imino group is protected with 2-nitrobenzenesulfonyl or

L18 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-nitrobenzenesulfonyl and treating this deriv. with an organometallic reagent or by subjecting an optically active 3-haloalanine deriv. to N-protection to obtain an optically active 3-haloalanine deriv. XCH2C*H(NHP1)CO2R2 [X, asterisk = as given above; R2 is hydrogen or the like; P1 is 2-nitrobenzenesulfonyl or 4-nitrobenzenesulfonyl] whose amino group is protected with 2-nitrobenzenesulfonyl or 4-nitrobenzenesulfonyl and treating this deriv. with an organometallic reagent. According to such processes, natural and nonnatural optically active amino acids can be prepd. from inexpensive raw materials through simple and easy operation.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:580151 HCAPLUS
DOCUMENT NUMBER: 121:180151
TITLE: The synthesis and stability of aziridino-glutamate, an irreversible inhibitor of glutamate racemase
AUTHOR(S): Tanner, Martin E.; Miao, Shichang
CORPORATE SOURCE: Dep. Chem., Univ. British Columbia, Vancouver, BC, V6T 1Z1, Can.
SOURCE: Tetrahedron Letters (1994), 35(24), 4073-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:180151
GI



AB Aziridino-glutamate (±)-I was synthesized by heating α-fluoromethylglutamate II in base. In neutral solution, (±)-I was shown to cyclize to the γ-lactone III with a half life of 4 min. Aziridino-glutamate was shown to irreversibly inactive glutamate racemase by alkylating an active site cysteine residue. Electrospray mass spectrometry was used to establish that a covalent bond had formed and that this bond protects one of the enzyme's two cysteine residues from reacting with iodoacetate under denaturing conditions.

L18 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:459808 HCAPLUS
DOCUMENT NUMBER: 113:59808
TITLE: 2-(4-Amino-4-carboxybutyl)aziridine-2-carboxylic acid. A potent irreversible inhibitor of diaminopimelic acid epimerase. Spontaneous formation from α-(halomethyl)diaminopimelic acids
AUTHOR(S): Gerhart, Fritz; Higgins, William; Tardif, Chantal; Ducep, Jean Bernard
CORPORATE SOURCE: Strasbourg Cent., Merrell Dow Res. Inst., Strasbourg, 67084, Fr.
SOURCE: Journal of Medicinal Chemistry (1990), 33(8), 2157-62
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:59808
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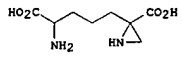

AB The title compound (I) was identified as the product of spontaneous hydrolysis of α-(halomethyl)diaminopimelic acids RCH2C(NH2)(CO2H)CH2CH2CH2CH(NH2)CO2H (II, R = F, Cl, Br). Under physiol. conditions, I is an extremely potent irreversible inhibitor of the bacterial enzyme diaminopimelic acid epimerase (EC 5.1.1.7). This unusual mode of action of an α-halomethyl amino acid with a nonpyridoxal enzyme is investigated. Synthesis and characterization of I and II, kinetics of spontaneous formation of I from II, and kinetics of enzyme inhibition by both I and II are reported.

L18 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:626320 HCAPLUS
DOCUMENT NUMBER: 105:226320
TITLE: Aziridine-2-carboxylic acid salts
INVENTOR(S): Sadao, Kitagawa; Takashi, Yokoi; Mitsumasa, Kaitoh
PATENT ASSIGNEE(S): Research Assoc. for Utilization of Light Oil, Japan
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 191462	A1	19860820	EP 1986-101728	19860212
R: DE, FR, GB, IT				
JP 61186361	A2	19860820	JP 1985-25775	19850213
JP 62019567	A2	19870128	JP 1985-159498	19850719
US 4935527	A	19900619	US 1988-289440	19881223
PRIORITY APPLN. INFO.:			JP 1985-25775 A	19850213
			JP 1985-159498 A	19850719
			US 1986-828549 B1	19860212

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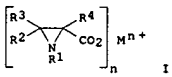

I

AB The title compds. I (R1-R4 = H, C1-10 hydrocarbyl, M = NH4, metal ion; n = valence of M), useful as neoplasm inhibitors, are prepared by the reaction of a 2,3-dihalopropionic acid or an α-haloacrylic acid derivative with aqueous NH3 in presence of an alkaline earth metal hydroxide. ClCH2CHClCO2Me, aqueous NH3, and Ca(OH)2 were charged into an autoclave at 90° for 5 h to give I (R1-R4 = H; M = Ca; n = 2) (95.3% yield).

L18 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:125854 HCAPLUS
DOCUMENT NUMBER: 98:125854
TITLE: Aziridine-2-carboxylic acid salts
PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57146751	A2	19820910	JP 1981-32501	19810309
JP 60039357	B4	19850905		
PRIORITY APPLN. INFO.:			JP 1981-32501	19810309

AB Aziridine-2-carboxylic acid (I) salts were prepared by treating β-haloalanines, their esters, or mineral acid salts with alkali (or alkaline earth) metal hydroxides or aqueous NH3 in aqueous media. Thus, 20 g NaOH in H2O was added to 24 g β-chloroalanine-HCl in H2O at room temperature to give, after 24 h, 92.6% I Na salt. Similarly prepared were I K salt and I Ca salt.

L18 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:436591 HCAPLUS
DOCUMENT NUMBER: 89:36591
TITLE: 5-Carboxamido-4-amino-3-isoxazolidone, an asparagine analog
AUTHOR(S): Stammer, Charles H.; Sato, Masayuki
CORPORATE SOURCE: Dep. Chem., Univ. Georgia, Athens, GA, USA
SOURCE: Journal of Medicinal Chemistry (1978), 21(7), 709-12
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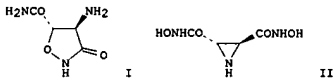
GI



I II

AB The synthesis of the title compound I [66620-06-2] from trans-diethyl aziridine-2,3-dicarboxylate [66619-94-1] via diethyl β-chloroaspartate hydrochloride [66619-95-2] is described. Neither I nor the aziridine hydroxamate intermediate II [66620-05-1] had antitumor activity in mice against L1210 and P-388 tumors. I was inactive as an inhibitor of asparagine synthetase from Novikoff hepatoma and did not inhibit the growth of bacteria or fungi.

Andrew Freistein 10/716,430

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

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